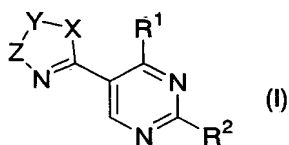


CLAIMS

1. An antitumor agent which comprises, as an active ingredient, a pyrimidine derivative represented by Formula (I):

[Chemical Formula 15]



[wherein -X-Y-Z- represents -O-CR<sup>3</sup>=N- {wherein R<sup>3</sup> represents a hydrogen atom, hydroxy, carboxy, lower alkyl, lower alkyl substituted with one to four substituents, which may be the same or different and selected from the following substituent group A [substituent group A: halogen, amino, aminosulfonyl, nitro, hydroxy, mercapto, cyano, formyl, carboxy, carbamoyl, lower alkanoyloxy, lower alkanoylamino, mono- or di-(lower alkyl)aminocarbonyl, lower alkoxycarbonyl, mono- or di-(lower alkyl)amino, N-aryl-N-(lower alkyl)amino, lower alkylsulfonyl, lower alkylsulfinyl, mono- or di-(lower alkylsulfonyl)amino, mono- or di-(arylsulfonyl)amino, tri-(lower alkyl)silyl, lower alkylthio, aromatic heterocyclic alkylthio, lower alkanoyl, lower alkanoyl substituted with one to three substituents, which may be the same or different and selected from the following substituent group a (substituent group a: halogen and hydroxy), lower alkoxy, lower alkoxy substituted with one to three substituents,

which may be the same or different and selected from the substituent group a, aryloxy, aryloxy substituted with one to three substituents, which may be the same or different and selected from the substituent group a, aralkyloxy, and aralkyloxy substituted with one to three substituents, which may be the same or different and selected from the substituent group a; wherein, when the substituted lower alkyl is substituted methyl, substituted ethyl, or substituted propyl, the substituent may be  $-NR^4R^5$  (wherein  $R^4$  and  $R^5$  may be the same or different, and each represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aromatic heterocyclic alkyl, substituted or unsubstituted heteroalicyclic alkyl, substituted or unsubstituted aryl, a substituted or unsubstituted aromatic heterocyclic group, or a substituted or unsubstituted heteroalicyclic group)], substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aromatic heterocyclic alkyl, substituted or unsubstituted heteroalicyclic alkyl, substituted or unsubstituted aryl, a substituted or unsubstituted aromatic heterocyclic group, a substituted or unsubstituted heteroalicyclic group, substituted or

unsubstituted lower alkoxy, substituted or unsubstituted lower alkoxycarbonyl, substituted or unsubstituted lower alkylthio, substituted or unsubstituted lower alkanoyl, or  $-C(=O)NR^6R^7$  (wherein  $R^6$  and  $R^7$  may be the same or different, and each represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aromatic heterocyclic alkyl, substituted or unsubstituted heteroalicyclic alkyl, substituted or unsubstituted aryl, a substituted or unsubstituted aromatic heterocyclic group, or a substituted or unsubstituted heteroalicyclic group, or  $R^6$  and  $R^7$  are combined together with the adjacent nitrogen atom thereto to form a substituted or unsubstituted heteroalicyclic group)),  $-N=CR^{3a}-O-$  (wherein  $R^{3a}$  has the same definition as  $R^3$  described above),  $-O-N=CR^{3b}-$  (wherein  $R^{3b}$  has the same definition as  $R^3$  described above),  $-O-C(=O)-NR^8-$  (wherein  $R^8$  represents a hydrogen atom, lower alkyl, lower alkyl substituted with one to four substituents, which may be the same or different and selected from the substituent group A, or substituted or unsubstituted heteroalicyclic alkyl),  $-N=N-NR^9-$  (wherein  $R^9$  represents substituted or unsubstituted lower alkyl or substituted or unsubstituted heteroalicyclic alkyl), or  $-NR^{9a}-N=N-$  (wherein  $R^{9a}$  has the same definition as

R<sup>9</sup> described above);

R<sup>1</sup> represents -NR<sup>10</sup>R<sup>11</sup> (wherein R<sup>10</sup> and R<sup>11</sup> may be the same or different, and each represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aromatic heterocyclic alkyl, substituted or unsubstituted heteroalicyclic alkyl, substituted or unsubstituted monocyclic aryl, a substituted or unsubstituted aromatic monoheterocyclic group, or a substituted or unsubstituted heteroalicyclic group, or R<sup>10</sup> and R<sup>11</sup> are combined together with the adjacent nitrogen atom thereto to form a substituted or unsubstituted heteroalicyclic group; wherein, when one of R<sup>10</sup> and R<sup>11</sup> is a hydrogen atom, the other of R<sup>10</sup> and R<sup>11</sup> is not a group selected from substituted or unsubstituted pyrazol-3-yl and substituted or unsubstituted 1,2,4-triazol-3-yl), or -OR<sup>12</sup> (wherein R<sup>12</sup> represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aromatic heterocyclic alkyl, substituted or unsubstituted heteroalicyclic alkyl, substituted or unsubstituted aryl, a substituted or unsubstituted aromatic heterocyclic group, or a substituted or unsubstituted

heteroalicyclic group); and

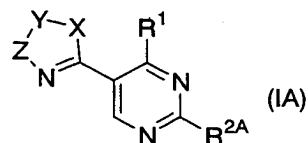
R<sup>2</sup> represents -NR<sup>13</sup>R<sup>14</sup> (wherein R<sup>13</sup> and R<sup>14</sup> may be the same or different, and each represents a hydrogen atom, substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted aralkyl, substituted or unsubstituted aromatic heterocyclic alkyl, substituted or unsubstituted heteroalicyclic alkyl, substituted or unsubstituted monocyclic aryl, a substituted or unsubstituted aromatic monoheterocyclic group, or a substituted or unsubstituted heteroalicyclic group, or R<sup>13</sup> and R<sup>14</sup> are combined together with the adjacent nitrogen atom thereto to form a substituted or unsubstituted heteroalicyclic group or a substituted or unsubstituted aromatic heterocyclic group; wherein R<sup>13</sup> and R<sup>14</sup> do not simultaneously represent a hydrogen atom, and when one of R<sup>13</sup> and R<sup>14</sup> is a hydrogen atom, the other of R<sup>13</sup> and R<sup>14</sup> is not substituted or unsubstituted pyrazol-3-yl)] or a pharmaceutically acceptable salt thereof.

2. The antitumor agent according to Claim 1, wherein the tumor is a hematopoietic tumor.

3. A therapeutic agent for leukemia, which comprises, as an active ingredient, the pyrimidine derivative or the pharmaceutically acceptable salt thereof described in Claim 1.

4. A pyrimidine derivative represented by Formula (IA):

[Chemical Formula 16]



[wherein -X-Y-Z- and R<sup>1</sup> have the same definitions as described above, respectively;

R<sup>2A</sup> represents -NR<sup>13A</sup>R<sup>14A</sup> {wherein R<sup>13A</sup> and R<sup>14A</sup> may be the same or different, and each represents a hydrogen atom, lower alkyl, lower alkyl substituted with one to four substituents, which may be the same or different and selected from the following substituent group B [substituent group B: halogen, amino, aminosulfonyl, nitro, hydroxy, mercapto, cyano, formyl, carboxy, carbamoyl, lower alkanoyloxy, lower alkanoylamino, mono- or di-(lower alkyl)aminocarbonyl, lower alkoxy carbonyl, mono- or di-(lower alkyl)amino, N-aryl-N-(lower alkyl)amino, lower alkylsulfonyl, lower alkylsulfinyl, mono- or di-(lower alkylsulfonyl)amino, mono- or di-(arylsulfonyl)amino, tri-(lower alkyl)silyl, lower alkylthio, aromatic heterocyclic alkylthio, lower alkanoyl, lower alkanoyl substituted with one to three substituents, which may be the same or different and selected from the following substituent group a (substituent group a: halogen and hydroxy), lower alkoxy, lower alkoxy substituted with one to three substituents, which may be the same or

different and selected from the substituent group a, aryloxy, aryloxy substituted with one to three substituents, which may be the same or different and selected from the substituent group a, aralkyloxy, and aralkyloxy substituted with one to three substituents, which may be the same or different and selected from the substituent group a], substituted or unsubstituted lower alkenyl, substituted or unsubstituted lower alkynyl, substituted or unsubstituted heteroalicyclic alkyl, substituted or unsubstituted monocyclic aryl, or a substituted or unsubstituted heteroalicyclic group, or  $R^{13A}$  and  $R^{14A}$  are combined together with the adjacent nitrogen atom thereto to form a substituted or unsubstituted heteroalicyclic group or a substituted or unsubstituted aromatic heterocyclic group, wherein  $R^{13A}$  and  $R^{14A}$  do not simultaneously represent a hydrogen atom},  $-NR^{15}CR^{16A}R^{16B}-Ar$  {wherein  $R^{15}$  represents a hydrogen atom or lower alkyl;  $R^{16A}$  and  $R^{16B}$  may be the same or different, and each represents a hydrogen atom, lower alkyl, or lower alkyl substituted with one to three substituents, which may be the same or different and selected from the following substituent group b (substituent group b: halogen, hydroxy, and hydroxymethyl); and Ar represents aryl, aryl substituted with one to three substituents, which may be the same or different and selected from the following substituent group C [substituent group C: halogen, amino,

nitro, hydroxy, mercapto, cyano, carboxy, aminosulfonyl, lower alkyl, lower alkyl substituted with one to three substituents, which may be the same or different and selected from the substituent group b, lower alkoxy, lower alkylthio, mono- or di-(lower alkyl)amino, lower alkanoylamino, mono- or di-(lower alkylsulfonyl)amino, lower alkoxycarbonylamino, heteroalicyclic alkyloxy, and alkylenedioxy], an aromatic heterocyclic group, or an aromatic heterocyclic group substituted with one to three substituents, which may be the same or different and selected from the substituent group C}, or -NR<sup>15</sup>CR<sup>16A</sup>AR<sup>16B</sup>CR<sup>17A</sup>R<sup>17B</sup>-Ar (wherein R<sup>15</sup>, R<sup>16A</sup>, R<sup>16B</sup>, and Ar have the same definitions as described above, respectively; and R<sup>17A</sup> and R<sup>17B</sup> have the same definition as R<sup>16A</sup> and R<sup>16B</sup> described above, respectively)] or a pharmaceutically acceptable salt thereof.

5. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 4, wherein -X-Y-Z- is -O-CR<sup>3</sup>=N- (wherein R<sup>3</sup> has the same definition as described above).

6. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 4, wherein -X-Y-Z- is -O-CR<sup>3A</sup>=N- (wherein R<sup>3A</sup> represents lower alkyl, lower alkyl substituted with one to four substituents, which may be the same or different and selected from the



substituent group A, or heteroalicyclic alkyl).

7. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claims 5 to 6, wherein  $R^1$  is  $-NR^{10}R^{11}$  (wherein  $R^{10}$  and  $R^{11}$  have the same definitions as described above, respectively), and  $R^{2A}$  is  $-NR^{15}CR^{16A}R^{16B}-Ar$  (wherein  $R^{15}$ ,  $R^{16A}$ ,  $R^{16B}$ , and  $Ar$  have the same definitions as described above, respectively) or  $-NR^{15}CR^{16A}R^{16B}CR^{17A}R^{17B}-Ar$  (wherein  $R^{15}$ ,  $R^{16A}$ ,  $R^{16B}$ ,  $R^{17A}$ ,  $R^{17B}$ , and  $Ar$  have the same definitions as described above, respectively).

8. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 5 to 7, wherein  $R^1$  is  $-NHR^{10A}$  (wherein  $R^{10A}$  represents substituted or unsubstituted lower alkyl or substituted or unsubstituted monocyclic aryl).

9. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 5 to 8, wherein  $R^{2A}$  is  $-NH(CH_2)_2-Ar$  (wherein  $Ar$  has the same definition as described above).

10. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 5 to 8, wherein  $R^{2A}$  is  $-NH(CH_2)_2-Ar^1$  (wherein  $Ar^1$  represents phenyl or phenyl substituted with one to three substituents, which may be the same or different and selected from the substituent group C).

11. The pyrimidine derivative or the pharmaceutically

acceptable salt thereof according to any of Claims 5 to 8, wherein  $R^{2A}$  is  $-NH(CH_2)_2-Ar^2$  (wherein  $Ar^2$  represents pyridyl or pyridyl substituted with one to three substituents, which may be the same or different and selected from the substituent group C).

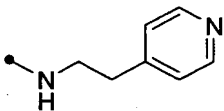
12. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 4, wherein  $-X-Y-Z-$  is  $-O-C(=O)-NR^8-$  (wherein  $R^8$  has the same definition as described above).

13. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 12, wherein  $R^1$  is  $-NR^{10}R^{11}$  (wherein  $R^{10}$  and  $R^{11}$  have the same definitions as described above, respectively), and  $R^{2A}$  is  $-NR^{15}CR^{16A}R^{16B}-Ar$  (wherein  $R^{15}$ ,  $R^{16A}$ ,  $R^{16B}$ , and  $Ar$  have the same definitions as described above, respectively) or  $-NR^{15}CR^{16A}R^{16B}CR^{17A}R^{17B}-Ar$  (wherein  $R^{15}$ ,  $R^{16A}$ ,  $R^{16B}$ ,  $R^{17A}$ ,  $R^{17B}$ , and  $Ar$  have the same definitions as described above, respectively).

14. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 12, wherein  $R^1$  is  $-NHR^{10B}$  (wherein  $R^{10B}$  represents substituted or unsubstituted lower alkyl), and  $R^{2A}$  is  $-NH(CH_2)_2-Ar$  (wherein  $Ar$  has the same definition as described above).

15. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 12 to 14, wherein  $R^{2A}$  is

[Chemical Formula 17]



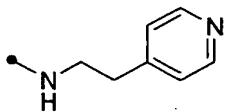
16. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 4, wherein -X-Y-Z- is -N=N-NR<sup>9</sup>- (wherein R<sup>9</sup> has the same definition as described above) or -NR<sup>9a</sup>-N=N- (wherein R<sup>9a</sup> has the same definition as described above).

17. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 16, wherein R<sup>1</sup> is -NR<sup>10</sup>R<sup>11</sup> (wherein R<sup>10</sup> and R<sup>11</sup> have the same definitions as described above, respectively), and R<sup>2A</sup> is -NR<sup>15</sup>(CH<sub>2</sub>)<sub>n</sub>-Ar (wherein R<sup>15</sup> and Ar have the same definitions as described above, respectively; and n represents 1 or 2).

18. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 16, wherein R<sup>1</sup> is -NHR<sup>10B</sup> (wherein R<sup>10B</sup> has the same definition as described above), and R<sup>2A</sup> is -NH(CH<sub>2</sub>)<sub>2</sub>-Ar (wherein Ar has the same definition as described above).

19. The pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 16, wherein R<sup>1</sup> is -NHR<sup>10B</sup> (wherein R<sup>10B</sup> has the same definition as described above), and R<sup>2A</sup> is

[Chemical Formula 18]



20. A pharmaceutical composition which comprises, as an active ingredient, the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 4 to 19.

21. An antitumor agent which comprises, as an active ingredient, the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 4 to 19.

22. The antitumor agent according to Claim 21, wherein the tumor is a hematopoietic tumor.

23. A therapeutic agent for leukemia, which comprises, as an active ingredient, the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 4 to 19.

24. A method for treating a tumor, which comprises a step of administering an effective amount of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 1.

25. A method for treating a hematopoietic tumor, which comprises a step of administering an effective amount of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 1.

26. A method for treating leukemia, which comprises a step of administering an effective amount of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 1.

27. A method for treating a tumor, which comprises a step of administering an effective amount of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 4 to 19.

28. A method for treating a hematopoietic tumor, which comprises a step of administering an effective amount of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 4 to 19.

29. A method for treating leukemia, which comprises a step of administering an effective amount of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 4 to 19.

30. Use of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 1 for a manufacture of an antitumor agent.

31. Use of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 1 for a manufacture of a therapeutic agent for a hematopoietic tumor.

32. Use of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to Claim 1 for a manufacture of a therapeutic agent for leukemia.

33. Use of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 4 to 19 for a manufacture of an antitumor agent.

34. Use of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 4 to 19 for a manufacture of therapeutic agent for a hematopoietic tumor.

35. Use of the pyrimidine derivative or the pharmaceutically acceptable salt thereof according to any of Claims 4 to 19 for a manufacture of a therapeutic agent for leukemia.